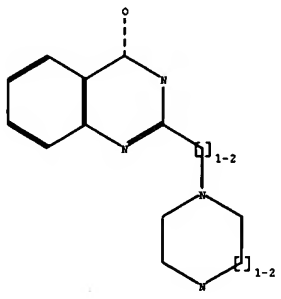
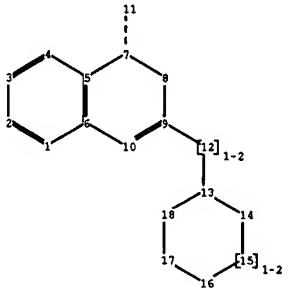
C:\Program Files\Stnexp\Queries\10644244.str





chain nodes :
    11 12
ring nodes :
    1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18
chain bonds :
    7-11 9-12 12-13
ring bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
    16-17 17-18
exact/norm bonds :
    5-7 6-10 7-8 7-11 8-9 9-10 12-13
exact bonds :
    9-12 13-14 13-18 14-15 15-16 16-17 17-18
normalized bonds :
    1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
    containing 1 : 13 :

Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
    12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

10/ 644,244

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	4	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	5	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	6	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	7	MAR 02	GBFULL: New full-text patent database on STN
NEWS	8	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	9	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	10	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	11	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	12	MAR 22	PATDPASPC - New patent database available
NEWS	13	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	14	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	15	APR 04	EMBASE - Database reloaded and enhanced

NEWS EXPRESS	JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:56:55 ON 08 APR 2005

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

10/ 644,244

FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 15:57:01 ON 08 APR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 APR 2005 HIGHEST RN 848122-48-5
DICTIONARY FILE UPDATES: 7 APR 2005 HIGHEST RN 848122-48-5

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

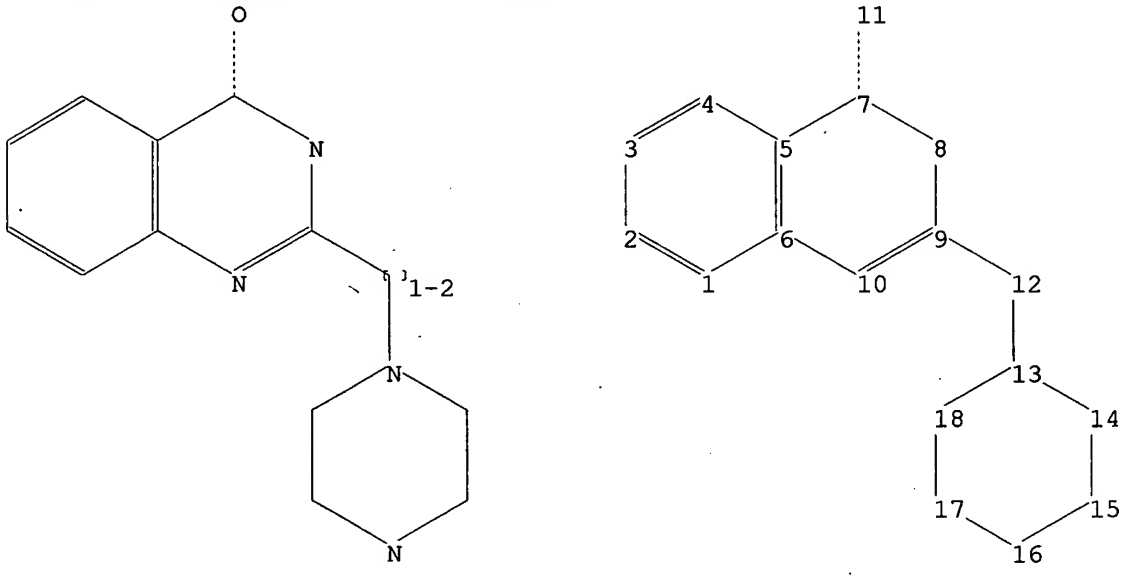
****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> s quinazol?
L1 273979 QUINAZOL?

=>
Uploading C:\Program Files\Stnexp\Queries\10644244.str



chain nodes :

10/ 644,244

11 12
ring nodes :
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18
chain bonds :
7-11 9-12 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
16-17 17-18
exact/norm bonds :
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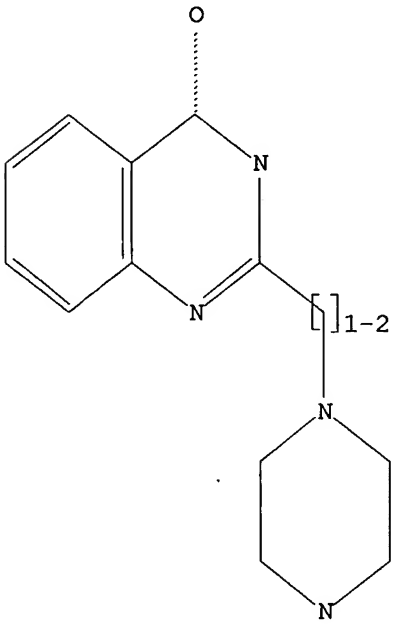
exact bonds :
9-12 13-14 13-18 14-15 15-16 16-17 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 13 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

L2 STRUCTURE UPLOADED

=> d l2
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l2 sub=l1
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full
FULL SUBSET SEARCH INITIATED 15:57:53 FILE 'REGISTRY'

10/ 644,244

FULL SUBSET SCREEN SEARCH COMPLETED - 3264 TO ITERATE

100.0% PROCESSED 3264 ITERATIONS 3148 ANSWERS
SEARCH TIME: 00.00.01

L3 3148 SEA SUB=L1 SSS FUL L2

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 166.36 166.57

FILE 'CAPLUS' ENTERED AT 15:58:11 ON 08 APR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 8 Apr 2005 VOL 142 ISS 16
FILE LAST UPDATED: 7 Apr 2005 (20050407/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 43 L3

=> d l4 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 43 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1156498 CAPLUS
DOCUMENT NUMBER: 142:93848
TITLE: Preparation of guanidino-substituted quinazolinone compounds as MC4-R agonists
INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron; Conlee, Christopher R.; Thompson, Brian D.; De Armas, Kuntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; Du, Kien S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004112793	A1	20041229	WO 2004-US15959	20040521
WO 2004112793	B1	20050310		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005059662	A1	20050317	US 2004-850967	20040521
PRIORITY APPLN. INFO.:			US 2003-473317P P	20030523
			US 2003-523336P P	20031119
			US 2003-524492P P	20031124

OTHER SOURCE(S): MARPAT 142:93848
GI

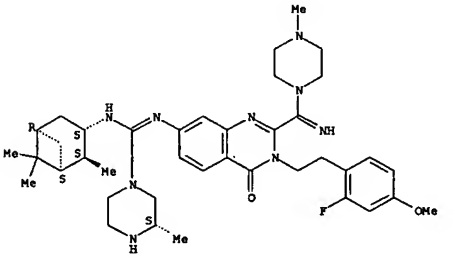
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R agonists such as I-III [Z1 = CR4, N; Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted arylalkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, arylalkyl, aryl, etc.; R4-R6 = H, Cl, I, F, Br, OH, etc.; W = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl, etc.; at least one of R11 and R12 is (un)substituted heterocyclylalkyl; R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted alkyl, cycloalkyl, etc.)] are provided. General procedures used in the synthesis of compds. I-III are described. E.g., a multi-step synthesis of (1S,2S,3S,5R)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. I are useful in treating MC4-R mediated diseases such as obesity and type II diabetes. The pharmaceutical compn. comprising the compd. I is disclosed.

IT 628326-00-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of guanidino-substituted quinazolinone compds. as MC4-R agonists)

RN 628326-00-1 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2-fluoro-4-methoxyphenyl)ethyl]-3,4-dihydro-2-[imino(4-methyl-1-piperazinyl)methyl]-4-oxo-7-quinazolinyl]-3-methyl-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

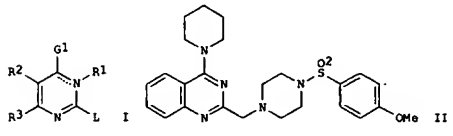


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1127348 CAPLUS
DOCUMENT NUMBER: 142:74614
TITLE: Preparation of pyrimidine derivatives as modulators of ATP-binding cassette transporters
INVENTOR(S): Makings, Lewis R.; Singh, Ashvani K.; Miller, Mark T.; Hadida Ruah, Sarah S.; Grootenhuis, Peter; Hamilton, Matthew; Hazelwood, Anna R.; Huang, Liming
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 432 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111014	A1	20041223	WO 2004-US17673	20040604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005059687	A1	20050317	US 2004-862909	20040607
PRIORITY APPLN. INFO.:			US 2003-476698P P	20030606
			US 2003-500132P P	20030904
			US 2003-520181P P	20031114
			WO 2004-US17673 A	20040604

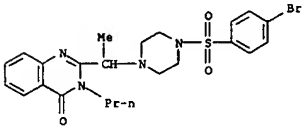
OTHER SOURCE(S): MARPAT 142:74614
GI



AB The present invention relates to compds. I [G1 = O, RA, ORA, SRA, NRARB (wherein RA, RB = VRV, or NRARB = (un)substituted 3-12 membered (un)saturated monocyclic or bicyclic ring having 0-4 heteroatoms selected from N, O, or S; V = a bond, alkylidene wherein up to two methylene units of V are optionally replaced by CO, CS, COCO, etc.; RV = halo, NO2, CN, etc.)); R1 = absent, YRY (Y = a bond, alkylidene wherein up to two methylene units of Y are optionally replaced by CO, O, S, etc.; RY = halo, NO2, CN, etc.)); R2, R3 = TRZ, or R2 and R3, taken together, form (un)substituted 5-6 membered monocyclic aryl having 0-5 heteroatoms selected from N, O, or S, 5-6 membered (un)satd. monocyclic ring having 0-3 heteroatoms selected from N, O, or S (T = a bond, alkylidene wherein up to two methylene units of T are optionally replaced by CO, CS, COCO, etc. RZ = halo, NO2, CN, etc.)); L = G2BG3Ar1 (G2, G3 = absent, alkylidene wherein up to two methylene units are optionally replaced by CO, CS, SO, etc.; B = absent, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; Ar1 = absent, (un)substituted 3-8 membered (un)satd. monocyclic ring having 0-3 heteroatoms, 8-12 membered (un)satd. bicyclic ring having 0-5 heteroatoms)] as modulators of ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Regulator ("CFTR"), compns. thereof, and methods therewith. E.g., a multi-step synthesis of the quinazoline II, is described. The compds. I are useful as modulators of ATP binding cassette transporters (the EC50 and relative efficacy for 405 compds. I were given). The present invention also relates to methods of treating ABC transporter mediated diseases such as cystic fibrosis using the modulators I.

IT 815589-62-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolines as modulators of ATP-binding cassette transporters)

RN 815589-62-9 CAPLUS
CN Piperazine, 1-[(4-bromophenyl)sulfonyl]-4-[1-(3,4-dihydro-4-oxo-3-propyl-2-quinazolinyl)ethyl]- (9CI) (CA INDEX NAME)

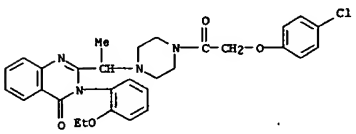


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1060670 CAPLUS
DOCUMENT NUMBER: 142:16799
TITLE: Engineered human tumorigenic cell-based identification of genotype-selective antitumor agents
INVENTOR(S): Stockwell, Brent R.
PATENT ASSIGNEE(S): Whitehead Institute for Biomedical Research, USA
SOURCE: U.S. Pat. Appl. Publ., 38 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004248221	A1	20041209	US 2004-767018		20040129
PRIORITY APPLN. INFO.:			US 2003-443728P	P	20030129
			US 2003-457401P	P	20030325
			US 2003-467290P	P	20030502
			US 2003-482688P	P	20030625
			US 2003-496209P	P	20030819

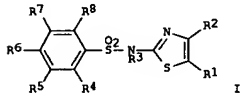
AB The invention discloses methods for identifying a genotype-selective agent. In certain embodiments, the invention relates to agents that are selectively toxic to engineered human tumorigenic cells.
IT 571203-78-6, Erastin
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(engineered human tumorigenic cell-based identification of genotype-selective antitumor agents)
RN 571203-78-6 CAPLUS
CN Piperazine, 1-[(4-chlorophenoxy)acetyl]-4-[1-[3-(2-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:902341 CAPLUS
DOCUMENT NUMBER: 141:379919
TITLE: Preparation of (iso)thiazole benzenesulfonamides and other heterocycles as inhibitors of fungal invasion
INVENTOR(S): Talley, John Jeffrey; Fretzen, Angelika; Zimmerman, Craig; Barden, Timothy.; Yang, Jing Jing; Martinez, Eduardo; Milne, G. Todd; Etchell, A. Cordero; Christine, M. Pierce; Houman, Fariba; Busby, Robert; Summers, Eric F.; Antonelli, Stephen; Lee, Peter; Farwell, Michael; Mayorga, Maria; O'Leary, Jessica
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

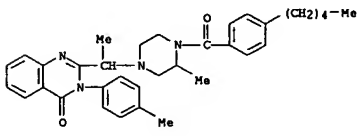
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004092123	A2	20041028	WO 2004-US11187		20040412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
PRIORITY APPLN. INFO.:			US 2003-461727P	P	20030410
			US 2003-469286P	P	20030509
			US 2003-485678P	P	20030709
OTHER SOURCE(S):			MARPAT 141:379919		

GI



AB Title compds. e.g. [I; R1 = (substituted) alkyl, alkoxy; R2 = H, halo; R3 = H, CHO, Ac, (substituted) alkyl; R4 = H, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkylamino, Ph, heteroaryl], were prepared Thus, 4-bromo-2-fluoro-N-(5-methylthiazol-2-yl)benzenesulfonamide, 4-fluorobenzeneboronic acid, Pd(PPh3)4, and K2CO3 were stirred in

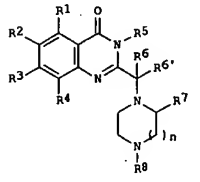
L4 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PhMe/Me2CHOH/H2O to give 15% 2,4'-difluoro-N-(5-methylthiazol-2-yl)-1,1'-biphenyl-4-sulfonamide. In a screen for inhibition of Candida albicans logarithmic phase growth, title compds. showed IC50's of as low as 0.0005 μM.
IT 334800-96-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of (iso)thiazole benzenesulfonamides and other heterocycles as inhibitors of fungal invasion)
RN 334800-96-3 CAPLUS
CN Piperazine, 4-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-2-methyl-1-(4-pentylbenzoyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:203551 CAPLUS
DOCUMENT NUMBER: 140:253579
TITLE: Preparation of 2-(piperazin-1-ylmethyl)-3H-quinazolin-4-one derivatives as inhibitors of mitotic kinesin KSP
INVENTOR(S): Bergnes, Gustave
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

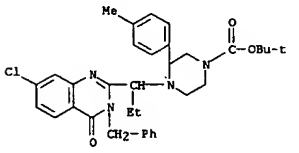
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004048853	A1	20040311	US 2003-644244		20030820
WO 2004018058	A2	20040304	WO 2003-US26093		20030820
WO 2004018058	A3	20040701			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
PRIORITY APPLN. INFO.:			US 2002-404864P	P	20020821
OTHER SOURCE(S):			MARPAT 140:253579		

GI



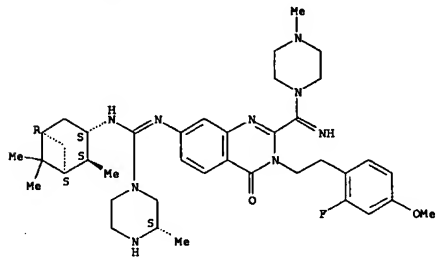
AB The title compds. (I; R1, R2, R3, R4 = H, HO , each (un)substituted alkyl or alkoxy, halogen or cyano; R5 = H, each (un)substituted alkyl, aryl, or aralkyl; R6, R 6' = H, each (un)substituted alkyl, aryl, aralkyl, heteroacyl or heteroaralkyl, or R6 and R6' taken together form a 3- to 7-membered nonarom. carbocyclic or heterocyclic ring; R7 = each (un)substituted alkyl, aryl, or aralkyl; R8 = H, each (un)substituted alkyl, aryl, or aralkyl; n = 1, 2), or pharmaceutically acceptable salts or solvates thereof. These compds. are useful for treating cellular proliferative diseases and disorders such as cancer, hyperplasia, restenosis, cardiac hypertrophy, an immune disorder or inflammation, by

L4 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
modulating the activity of KSP.
IT **669695-61-8P**, 4-[1-(3-Benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)propyl]-3-(p-tolyl)piperazine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinylmethyl-3H-quinazolinone derivs. as
inhibitors of mitotic kinesin KSP for treating cellular proliferative diseases and disorders)
RN 669695-61-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-3-(4-methylphenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
orthoformate by heating to 120° for 3 h affording 6,7-difluoro-3-[2-(4-fluorophenyl)ethyl]-3-hydroquinazolin-4-one (75%), which was converted to the azide (95%) by reaction with NaN3 in DMSO. The azide was coupled with (1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-ylisocyanate in the presence of PMe3 in THF, and the product was reacted with (6S,2R)-2,6-dimethylpiperazine to give the guanidine deriv. IV. EC50 values of one hundred five test compds. were detd. by treating cells expressing MC4-R with test compds., lysing the cells, and measuring intercellular cAMP concns. Compds. listed displayed -log EC50 values above about 3. Thus, I, II, III, and their pharmaceutical compns. are useful for the treatment of MC4-R-mediated diseases, such as obesity or type II diabetes (no data).
IT **628326-00-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MC4-R agonist; preparation of (guanidino)quinazolinones as MC4-R agonists
for treatment of obesity and type II diabetes)
RN 628326-00-1 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2-fluoro-4-methoxyphenyl)ethyl]-3,4-dihydro-2-[imino(4-methyl-1-piperazinyl)methyl]-4-oxo-7-quinazolinyl]-3-methyl-N'-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:951025 CAPLUS
DOCUMENT NUMBER: 140:16739
TITLE: Preparation of (guanidino)quinazolinones as MC4-R agonists for treatment of obesity and type II diabetes
INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099818	A1	20031204	WO 2003-US16442	20030523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004019049	A1	20040129	US 2003-444495	20030523
PRIORITY APPLN. INFO.:			US 2002-382762P	P 20020523
			US 2003-441019P	P 20030117
OTHER SOURCE(S):	MARPAT 140:16739			

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title low mol. weight, guanidine-containing mols. I, II, and III [wherein Z1 =
CR4, N; Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted (hetero)arylalkyl, (hetero)aryl, heterocyclyl, cycloalkyl(alkyl), heterocycloalkyl(alkyl), alkenyl, alkynyl, alkyl; R2 = H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, heteroaryl, heterocyclyl, (hetero)arylalkyl, cycloalkylalkyl, alkylcarbonyl, arylcarbonyl; R3 = H or (un)substituted (hetero)arylalkyl, alkoxy, (di)alkylamino, (hetero)aryl, heterocyclyl, (hetero)cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R4-R6 = independently H, halo, OH, NH2, CN, NO2, or (un)substituted alkoxy, (cyclo)alkyl, alkenyl, alkynyl, (di)alkylamino, heterocycylamino(carbonyl), heteroarylamino(carbonyl), aminocarbonyl, (di)alkylaminocarbonyl; W = (un)substituted guanidino; and prodrugs, pharmaceutically acceptable salts, stereoisomers, tautomers, hydrates, hydrides, or solvates thereof] were prepared as melanocortin-4 receptor (MC4-R) agonists. For example, amidation of 4,5-difluoroanthranilic acid with 4-fluorophenylethylamine in the presence of HOBt and diisopropylethylamine in THF provided the benzamide (90%). The 2-aminobenzamide was cyclized with tri-Me

L4 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:376563 CAPLUS
DOCUMENT NUMBER: 138:385439
TITLE: Preparation of quinazolinone mitotic kinesin inhibitors for treating cancer
INVENTOR(S): Fraley, Mark E.; Hoffman, William F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039460	A2	20030515	WO 2002-US35111	20021101
WO 2003039460	A3	20030731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1444209	A2	20040811	EP 2002-799174	20021101
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2004259826	A1	20041223	US 2004-494899	20040507
PRIORITY APPLN. INFO.:			US 2001-344453P	P 20011107
			WO 2002-US35111	W 20021101
OTHER SOURCE(S):	MARPAT 138:385439			

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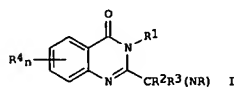


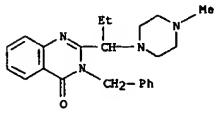
AB The present invention relates to quinazolinones (shown as I; variables defined below; e.g. 3-benzyl-2-[1-(4-methylpiperazin-1-yl)propyl]quinazolin-4(3H)-one) that are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. Twelve examples of I were found in a kinesin ATPase in vitro assay to have IC50 ≤50 μM. Although the methods of preparation are not claimed, 1 example preparation of I and characterization data for another 10 examples of I are included. For I: NR = 5-12 membered N-containing
heterocycle, which is optionally substituted with 1-6 R5 groups and which optionally incorporates 1-2 addnl. heteroatoms = N, O and S in the heterocycle; a = 0, 1; b = 0, 1; m = 0-2; n = 0-4; R1 = H, C1-C10 alkyl,

L4 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
aryl, C2-C10 alkenyl, C2-C10 alkynyl, C1-C6 perfluoroalkyl, C3-C8 cycloalkyl, and heterocyclyl. R2 and R3 = H, (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, C1-C6 perfluoroalkyl, (C:O)aObC3-C8 cycloalkyl, (C:O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl; R4 = (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, halo, OH, ObC1-C6 perfluoroalkyl, (C:O)aNR7R8, CN, (C:O)aObC3-C8 cycloalkyl, (C:O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl; R5 is (C:O)aObC1-C10 alkyl, (C:O)aObaryl, C2-C10 alkenyl, C2-C10 alkynyl, (C:O)aOb heterocyclyl, CO2H, halo, CN, OH, ObC1-C6 perfluoroalkyl, Oa(C:O)bNR7R8, oxo, CHO, N(O)R7R8, or C(O)aObC3-C8 cycloalkyl; addnl. details are given in the claims.

IT **522638-59-1P**, 3-Benzyl-2-[1-(4-methylpiperazin-1-yl)propyl]quinazolin-4(3H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone mitotic kinesin inhibitors for treating cancer)

RN 522638-59-1 CAPLUS

CN 4(3H)-Quinazolinone, 2-[1-(4-methyl-1-piperazinyl)propyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:277877 CAPLUS

DOCUMENT NUMBER: 139:143514

TITLE: Identification of genotype-selective antitumor agents using synthetic lethal chemical screening in engineered human tumor cells

AUTHOR(S): Dolma, Sonam; Lessnick, Stephen L.; Hahn, William C.; Stockwell, Brent R.

CORPORATE SOURCE: 9 Cambridge Center, Whitehead Institute for Biomedical Research, Cambridge, MA, 02142, USA

SOURCE: Cancer Cell (2003), 3(3), 285-296
CODEN: CCAECI; ISSN: 1535-6108

PUBLISHER: Cell Press

DOCUMENT TYPE: Journal

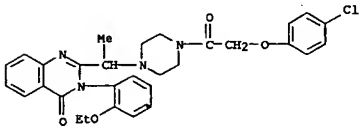
LANGUAGE: English

AB We used synthetic lethal high-throughput screening to interrogate 23,550 compds. for their ability to kill engineered tumorigenic cells but not their isogenic normal cell counterparts. We identified known and novel compds. with genotype-selective activity, including doxorubicin, daunorubicin, mitoxantrone, camptothecin, sangivamycin, echinomycin, bouvardin, NSC146109, and a novel compound that we named erastin. These compds. have increased activity in the presence of hTERT, the SV40 large and small T oncoproteins, the human papillomavirus type 16 (HPV) E6 and E7 oncoproteins, and oncogenic HRAS. We found that overexpressing hTERT and either E7 or LT increased expression of topoisomerase 2α and that overexpressing RASV12 and ST both increased expression of topoisomerase 1 and sensitized cells to a nonapoptotic cell death process initiated by erastin.

IT **571203-78-6**, Erastin
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(identification of genotype-selective antitumor agents using synthetic lethal chemical screening in engineered human tumor cells)

RN 571203-78-6 CAPLUS

CN Piperazine, 1-[(4-chlorophenoxy)acetyl]-4-[1-[3-(2-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:76556 CAPLUS

DOCUMENT NUMBER: 138:131125

TITLE: Fat accumulation-modulating compounds

INVENTOR(S): Stevenson, Michael John; Leighton, Harry Jefferson

PATENT ASSIGNEE(S): Adipogenix, Inc., USA

SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007888	A2	20030130	WO 2002-US23295	20020722
WO 2003007888	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003144350	A1	20030731	US 2002-201588	20020722
PRIORITY APPLN. INFO.:			US 2001-306837P	P 20010720

OTHER SOURCE(S): MARPAT 138:131125

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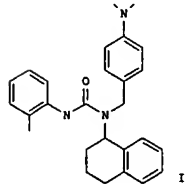


I

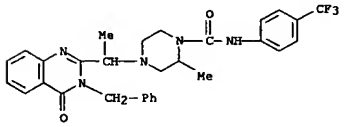
AB The present invention pertains to compds. effective at modulating fatty acid or triglyceride ("fat") accumulation by cells, such compds. having therapeutic potential as regulators of body mass and for the treatment of overweight individuals, obesity, and metabolic disorders. An example compound is I and protocol for high-throughput screening of compound efficacy on human preadipocytes is given. Therapeutic methods and pharmaceutical compns. featuring these compds. are also provided.

IT **334481-27-5**

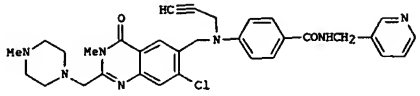
L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fat accumulation-modulating compds.)

RN 334481-27-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-2-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



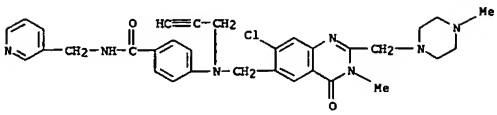
L4 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:524028 CAPLUS
DOCUMENT NUMBER: 137:232613
TITLE: The Design and Synthesis of Water-Soluble Analogues of CB30865, a Quinazolin-4-one-Based Antitumor Agent
AUTHOR(S): Bavetsias, V.; Skelton, L. A.; Yafai, F.; Mitchell, F.; Wilson, S. C.; Allan, B.; Jackman, A. L.
CORPORATE SOURCE: Centre for Cancer Therapeutics at The Institute of Cancer Research, Chemistry Department, Cancer Research U.K. Laboratory, Cancer Research U.K., Surrey, SM2 5NG, UK
SOURCE: Journal of Medicinal Chemistry (2002), 45(17), 3692-3702
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:232613
GI



I

AB 4-[N-[7-Bromo-2-methyl-4-oxo-3,4-dihydroquinazolin-6-ylmethyl]-N-(prop-2-ynyl)amino]-N-(3-pyridylmethyl)benzamide (CB30865) is a quinazolin-4-one antitumor agent whose high growth-inhibitory activity (W1L2 IC50 = 2.8 ± 0.50 nM) is believed to have a folate-independent locus of action. In addition, CB30865 represents a class of compds. with unique biochem. characteristics such as a delayed, non-phase specific, cell-cycle arrest. The low aqueous solubility of CB30865 prompted a search for more water-soluble analogs for in vivo evaluation of this class of compds. It was thought that aqueous solubility could be increased by the introduction of amino functionalities at the 2-position of the quinazolin-4-one ring. A variety of compds. were synthesized in a linear fashion starting from 3-chloro-4-methylaniline. Most of these compds. were significantly more water-soluble than CB30865 (636 μM for I at pH 6). In addition, some of them were up to 6-fold more cytotoxic than CB30865 (e.g., for I, W1L2 IC50 = 0.49 ± 0.24 nM) and retained its novel biochem. characteristics.
IT **289715-28-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyridinylmethylcarbamoylanilinomethylquinazolinones as water-soluble analogs of CB30865)
RN 289715-28-2 CAPLUS
CN Benzamide, 4-[[[7-chloro-3,4-dihydro-3-methyl-2-[(4-methyl-1-piperazinyl)methyl]-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-

L4 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
pyridinylmethyl)- (9CI) (CA INDEX NAME)



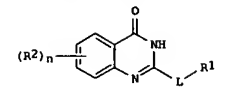
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:465983 CAPLUS
DOCUMENT NUMBER: 137:47214
TITLE: Preparation of 2-substituted-4(3H)-quinazolinone derivatives as PARP inhibitors
INVENTOR(S): Matsuoka, Nobuya; Iwashita, Akinori; Yamazaki, Shunji; Miyake, Hiroshi; Ohkubo, Mitsuru; Kamijo, Kazunori; Nakanishi, Isao; Hattori, Kouji; Kido, Yoshiyuki; Ishida, Junya; Yamamoto, Hirofumi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002048117	A1	20020620	WO 2001-JP10601		20011205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2431406	AA	20020620	CA 2001-2431406		20011205
AU 2002021047	A5	20020624	AU 2002-21047		20011205
EP 1355888	A1	20031029	EP 2001-270531		20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004515544	T2	20040527	JP 2002-549648		20011205
US 2004077667	A1	20040422	US 2003-433947		20030609
PRIORITY APPLN. INFO.:			AU 2000-2016	A	20001211
			WO 2001-JP10601	W	20011205

OTHER SOURCE(S): MARPAT 137:47214
GI

L4 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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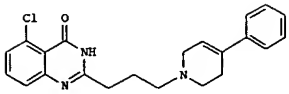
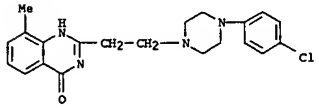


II

AB Title compds. I [R1 = (un)substituted cyclic amino group(s); R2 = substituent; n = 0-4; L = alkylene, alkenylene] were prepared For instance, 2-amino-6-chlorobenzamide was coupled to 4-pentenoyl chloride (THF, i-Pr$_2$NEt2, 5°C, 30 min) and the product treated with 1N NaOH to afford 2-(3-butenyl)-5-chloro-4(3H)-quinazolinone. This intermediate was oxidatively cleaved (dioxane, OsO4, t-BuOH; NaIO4) effecting cyclization to 8-chloro-1-hydroxy-2,3-dihydropyrrolo[2,1-b]quinazoline-9(1H)-one isolated as a colorless powder. This was used to alkylate 1,2,3,6-tetrahydro-4-phenylpyridine (CH3CNaq, HOAc, NaCNBH3) to afford II. Selected compds. of the invention had IC50 < 0.5 μM for poly(ADP-ribose)polymerase (PARP). I are useful for the treatment of NMDA- and NO-induced toxicity, tissue damage resulting from apoptosis, etc.
IT **437997-05-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of 2-[ω-substituted(hetero)aryl-alkyl]substituted-4(3H)-quinazolinone derivs.)
RN 437997-05-2 CAPLUS
CN 4(1H)-Quinazolinone, 2-[2-[4-(4-chlorophenyl)-1-piperazinyl]ethyl]-8-methyl- (9CI) (CA INDEX NAME)



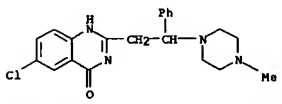
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:438306 CAPLUS
DOCUMENT NUMBER: 136:210029
TITLE: Evaluation of quinolone derivatives for antitrypanosomal activity
AUTHOR(S): Keiser, J.; Burri, C.
CORPORATE SOURCE: Department of Medical Parasitology and Infection Biology, Swiss Tropical Institute, Basel, 4002, Switz.
SOURCE: Tropical Medicine & International Health (2001), 6(5), 369-389
CODEN: TMIHFL; ISSN: 1360-2276
PUBLISHER: Blackwell Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB About 160 fluoroquinolones and derivs. were tested for antitrypanosomal activity in a drug sensitivity assay followed by fluorometric evaluation. The most active quinolone compds. had IC50 values in the range from 100 to 900 ng/mL, while several derivs. were not active at a concentration of 100 μg/mL. In a structure-activity relationship study, modification of the quinolones at position R1, R2, R3 and R8 did not influence trypanocidal activity. An exchange of the fluorine at position 6 may contribute to an increase in activity but does not entirely control it. Pyrrolidine substituents at position R7 generally were more active than other substituents at this position. Tetracyclic quinolone derivs. were amongst the most active compds. with IC50 values in the range of 0.3-8.8 μg/mL. The in vitro cytotoxicity on HT-29 cells was determined for active compds. with IC50 values below 1 μg/mL. In addition, six drugs with an IC50 below 1 μg/mL and a selectivity index of more than 10 were chosen for in vivo expts. Dose escalation expts. with a maximum dose of 100 mg/kg/bid were performed in a mouse model without central nervous system involvement. For unknown reasons the in vitro effect of the drugs could not be confirmed in vivo, but the class of compound remains of interest for their mode of action, the low toxicity, pharmacol. properties and the availability of a large number of synthesized compds.

IT **127033-50-5**
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(antitrypanosomal activity of quinolone derivs. as function of their structure)

RN 127033-50-5 CAPLUS
CN 4(1H)-Quinazolinone, 6-chloro-2-[2-(4-methyl-1-piperazinyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)



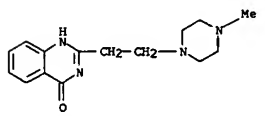
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:284756 CAPLUS
DOCUMENT NUMBER: 135:86537
TITLE: Design, synthesis and antihistaminic (H1)activity of some condensed 2-(substituted) arylaminoethyl-pyrimidin-4(3H)-ones
AUTHOR(S): Shishoo, Chamanlal J.; Shirsath, Vikas S.; Rathod, Ishwarsinh S.; Patil, Milind J.; Bhargava, Samir S.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, L. M. College of Pharmacy, Ahmedabad, India
SOURCE: Arzneimittel-Forschung (2001), 51(3), 221-231
CODEN: ARZNAD; ISSN: 0004-4172
PUBLISHER: Editio Cantor Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:86537

AB The synthesis and potential H1 receptor antagonistic activity of two novel series of condensed 2-arylaminoethylpyrimidin-4(3H)-ones and 4-amino-2-aryl-aminoethyl pyrimidines have been reported. All the novel compds. were found to antagonize histamine in a competitive and reversible manner. When tested on guinea-pig ileum, compds. exhibited H1-antagonistic activity, (pA2 values) in the range of 8.6 to 9.7. Some of the lead compds. were evaluated by an in vivo method and were found to protect the guinea pigs against the histamine induced asphyxic shock at the doses comparable to or lower than those of the standard drugs, cetirizine (CAS 83881-51-0) and terfenadine (CAS 50679-08-8). The pA2 acetylcholine values of some of the lead compds. reflect about 1000-fold selectivity for histamine (H1) receptors. 4-Aminopyrimidines were found to be more selective than their 4-one analogs. In the radioligand binding study, one of the lead compds. was found to bind reversibly at the histamine H1 receptor with the Ki value of 1.3 μmol/l and IC50 of 3.8 μmol/l. The lead compds. were found to have negligible sedative potential when tested in vivo. An indirect type of mol. modeling approach, using temelastine (CAS 86181-42-2) as the standard ligand, indicates that the potent activity of the compds. may be due to the increased spacer chain length between the pyrimidine nucleus and the sidechain aromatic ring.

IT **348628-52-4P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design, synthesis and antihistaminic activity of arylaminoethyl pyrimidinones)

RN 348628-52-4 CAPLUS
CN 4(1H)-Quinazolinone, 2-[2-(4-methyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT.

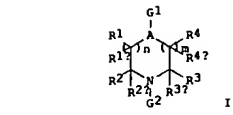
L4 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:78383 CAPLUS
DOCUMENT NUMBER: 134:163059
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007436	A2	20010201	WO 2000-IB1156	20000726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2382755	AA	20010201	CA 2000-2382755	20000726
BR 2000013179	A	20020402	BR 2000-13179	20000726
EP 1208097	A2	20020529	EP 2000-951781	20000726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200225	T2	20020621	TR 2002-200200225	20000726
JP 2003508353	T2	20030304	JP 2001-512520	20000726
EE 200200045	A	20030616	EE 2002-45	20000726
AU 773227	B2	20040520	AU 2000-64628	20000726
NO 2002000214	A	20020402	NO 2002-214	20020115
BG 106340	A	20021031	BG 2002-106340	20020122
ZA 2002000543	A	20030623	ZA 2002-543	20020122
PRIORITY APPLN. INFO.:			US 1999-363196 A	19990728
			WO 2000-IB1156 W	20000726

OTHER SOURCE(S): MARPAT 134:163059
GI

L4 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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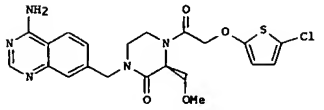


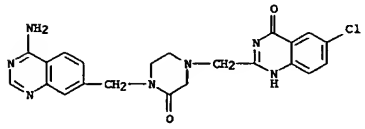
II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH or N; G1 and G2 = L1Cy1 or L2Cy2; Cy1 and Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.; L1 = null, O, S, SO, SO2, or (un)substituted sulfamoyl, methylene, (alkyl)keto(alkyl), carbamoyl, etc.; L2 = null or linking group; R1, R1a, R2, R2a, R3, R3a, R4, R4a = independently H, carboxy, alkoxycarbonyl, alkyl, (hetero)aryl, aralkyl, heteroarylalkyl, etc.; m and n = independently 0-2]. The compds. inhibit factor Xa (no data) and factor IIa, and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 1600 invention compds. and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave II.

IT **234101-74-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

RN 234101-74-7 CAPLUS
CN 4(1H)-Quinazolinone, 2-[[4-[(4-amino-7-quinazolinyl)methyl]-3-oxo-1-piperazinyl]methyl]-6-chloro- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:608742 CAPLUS
DOCUMENT NUMBER: 133:207917
TITLE: Preparation of anticancer dihydroquinazoline derivatives with a non-folate dependent locus of activity
INVENTOR(S): Skelton, Lorraine; Bavetsias, Vassilis; Jackman, Ann
PATENT ASSIGNEE(S): Cancer Research Campaign Technology Ltd., UK
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050417	A1	20000831	WO 2000-GB655	20000224
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2364708	AA	20000831	CA 2000-2364708	20000224
AU 2000026838	A5	20000914	AU 2000-26838	20000224
AU 772670	B2	20040506		
EP 1155012	A1	20011121	EP 2000-905212	20000224
EP 1155012	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002537391	T2	20021105	JP 2000-600998	20000224
AT 264322	E	20040415	AT 2000-905212	20000224
ES 2219308	T3	20041201	ES 2000-905212	20000224
US 6699861	B1	20040302	US 2001-914010	20011019
PRIORITY APPLN. INFO.:			GB 1999-4275 A	19990224
			WO 2000-GB655 W	20000224

OTHER SOURCE(S): MARPAT 133:207917
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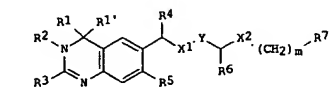

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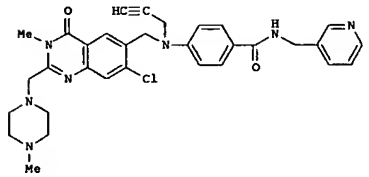


II

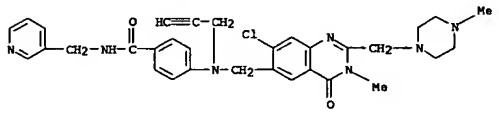
L4 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. (I) [wherein R1 and R1' together = :O and R2 = H, alkyl, alkyl-CO-B, alkyl-CO-alkyl-B, alkyl-CO2-alkyl-B, alkyl-CO2-alkenyl-B, or alkyl-CONH-alkyl-B; B = CO2H, OH, alkoxy, NH2, (di)alkylamino, or 5- or 6-membered heterocyclic group; or R1' and R2 together = a bond and R1 is alkylthio, NHR', or NHCOR'; R' = aryl or alkyl; R3 = (CH2)pA; p = 1-4; A = 5- or 6-membered N-containing heterocyclic ring attached via the N or NA'A"; A' and A" = independently alkyl groups; R4 = H, :O, or alkyl and R5 = H, alkyl, or halo; or R4 and R5 together with the carbon atoms to which they are attached = 5- or 6-membered carbocyclic ring; X1 and X2 = independently O, S, or NR"; R" = H, alkyl, alkenyl, or alkynyl; Y = divalent (hetero)aryl; R6 = H, :O, or alkyl; m = 1-4; R7 = pyridyl, pyrimidyl, (alkyl)imidazolyl, or (alkyl)triazolyl], and pharmaceutically acceptable salts thereof, were prepared for the treatment or prevention of cancer. I have a different pattern of activity to known chemotherapeutic agents, which operate via inhibition of thymidylate synthase (TS), and are thought to act via a new, non-folate dependent locus like that of CB30865. For example, hydrolysis of the 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu ester (multi-step preparation given) with TFA in CH2Cl2, followed by amidation with 3-(aminomethyl)pyridine in DMF using PyBOP® in the presence of diisopropylethylamine, gave II (70%). II inhibits TS poorly compared to the known anticancer agent CB3717 (IC50 II / IC50 CB3717 > 2500). However, II (CB300919) was active against the W1L2 and W112:C1 cell lines, including W1L2 cells incubated in the presence of folate metabolites, with IC50 values of 0.49 nM, 0.28 nM, and 0.32 nM, resp. In a test against W1L2:R865, a CB30865 resistant cell line, II showed decreased activity with an IC50 of 13,000 nM. In addition, II demonstrated antitumor activity against CH1 ovarian and HT29 colon cancer cells in nude mice at doses that were tolerated.

IT **289715-28-2P**, CB 300919
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer agent; preparation of anticancer 6-[[N-(4-carbamoylphenyl)-N-(prop-2-ynyl)amino]methyl]-3,4-dihydroquinazolin-4-ones by hydrolysis and amidation of 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu esters)

RN 289715-28-2 CAPLUS

CN Benzamide, 4-[[[7-chloro-3,4-dihydro-3-methyl-2-[(4-methyl-1-piperazinyl)methyl]-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

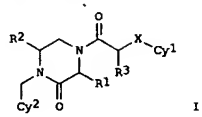
L4 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:384179 CAPLUS
DOCUMENT NUMBER: 133:30741
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Myers, Michael R.; Spada, Alfred P.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

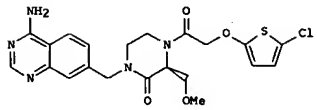
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032590	A1	20000608	WO 1999-US28074	19991124
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 9937304	A1	19990729	WO 1999-US1682	19990127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2003529531	T2	20031007	JP 2000-585232	19991124
PRIORITY APPLN. INFO.:			US 1998-110012P	A2 19981125
			WO 1999-US1682	A2 19990127
			US 1999-313611	A2 19990518
			US 1999-363196	A2 19990728
			US 1998-72707P	A2 19980127
			WO 1999-US28074	W 19991124

OTHER SOURCE(S): MARPAT 133:30741
GI

L4 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



II

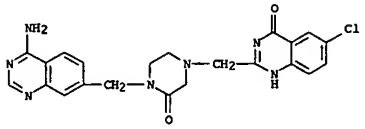
AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein R1 = H, alkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, alkoxy, aminoalkyl, CH2O2, CH(CH3)O2; R2 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; R3 = H or Me; X = N or O; Z = lower alkyl or alkoxycarbonylalkyl; Cy1 = (un)substituted aryl, (un)substituted heteroaryl; Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 invention compds., approx. 50 of which are also claimed, and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave the preferred title compound II.

IT **234101-74-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

RN 234101-74-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-[[4-[(4-amino-7-quinazolinyl)methyl]-3-oxo-1-piperazinyl]methyl]-6-chloro- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

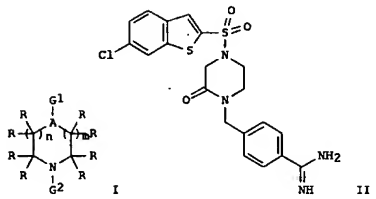
ACCESSION NUMBER: 1999:487215 CAPLUS
DOCUMENT NUMBER: 131:130007
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937304	A1	19990729	WO 1999-US1682	19990127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9900607	A	19990727	ZA 1999-607	19990127
CA 2319198	AA	19990729	CA 1999-2319198	19990127
AU 9926533	A1	19990809	AU 1999-26533	19990127
AU 745425	B2	20020321		
BR 9907300	A	20001024	BR 1999-7300	19990127
EP 1051176	A1	20001115	EP 1999-906684	19990127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200002182	T2	20001221	TR 2000-200002182	19990127
JP 2002501024	T2	20020115	JP 2000-528286	19990127
EE 200000435	A	20020215	EE 2000-435	19990127
WO 2000032590	A1	20000608	WO 1999-US28074	19991124
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2003529531	T2	20031007	JP 2000-585232	19991124
NO 2000003808	A	20000926	NO 2000-3808	20000725
BG 104633	A	20010330	BG 2000-104633	20000725
US 2004102450	A1	20040527	US 2003-628093	20030725
PRIORITY APPLN. INFO.:			US 1998-72707P	A2 19980127
			US 1998-110012P	A2 19981125
			WO 1999-US1682	W 19990127

L4 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

	US 1999-313611	A2 19990518
	US 1999-363196	A2 19990728
	WO 1999-US28074	W 19991124

OTHER SOURCE(S): MARPAT 131:130007
GI

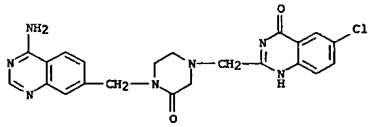


AB The invention is directed to oxoazaheterocyclyl compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various atomic and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzo[b]thiophene-2-sulfonyl chloride with 4-(2-oxopiperazin-1-ylmethyl)benzamidine bistrifluoroacetate (prepns. given) in CH2Cl2 in the presence of Et3N gave title compound II.

IT 234101-74-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

RN 234101-74-7 CAPLUS
CN 4(1H)-Quinazolinone, 2-{[4-[(4-amino-7-quinazolinyl)methyl]-3-oxo-1-piperazinyl]methyl]-6-chloro- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

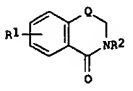


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:117830 CAPLUS
DOCUMENT NUMBER: 124:176144
TITLE: Preparation of bicyclic compds. as antirheumatics
INVENTOR(S): Kawagoe, Keiichi; Nakayama, Atsushi; Hasegawa, Masashi; Miwa, Tamotsu; Nakajima, Hiroto; Tsukada, Hisashi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07258224	A2	19951009	JP 1994-53359	19940324

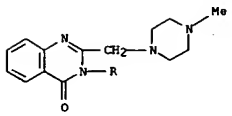
PRIORITY APPLN. INFO.: JP 1994-53359 19940324
OTHER SOURCE(S): MARPAT 124:176144
GI

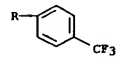


I

AB Bicyclic compds. I [R1 = H, amino, substituted amino, nitrogen-containing heterocyclyl, substituted nitrogen-containing heterocyclyl; R2 = aryl, substituted aryl; Q = N:CR3, NHCR4R5, NHCO(CH2)n; R3 = H, alkyl, substituted alkyl; R4,R5 = H, alkyl; n = 1, 2] and their salts, useful as antirheumatics, immunosuppressants, allergy inhibitors, and for treatment for bone disease, were prepared Thus, stirring 2-amino-N-(4-chlorophenyl)-3-(4-methylpiperazino)benzamide with tri-Et orthoformate and a catalytic amount of H2SO4 at 110° for 5 h gave 92% 3-(4-chlorophenyl)-8-(4-methylpiperazino)-3,4-dihydroquinazolin-4-one. 3-(4-Chlorophenyl)-2-methyl-8-(4-methylpiperazino)-3,4-dihydroquinazolin-4-one showed antiinflammatory activity in rats.
IT 173589-70-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic compds. as antirheumatics)
RN 173589-70-3 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(4-methyl-1-piperazinyl)methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

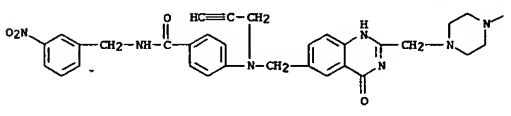




L4 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:31844 CAPLUS
DOCUMENT NUMBER: 124:176006
TITLE: Quinazoline Antifolate Thymidylate Synthase Inhibitors: Lipophilic Analogs with Modification to the C2-Methyl Substituent
AUTHOR(S): Hennequin, Laurent F.; Boyle, F. Thomas; Wardleworth, J. Michael; Marsham, Peter R.; Kimbell, Rosemary; Jackman, Ann L.
CORPORATE SOURCE: Centre de recherches, Zeneca Pharma, Reims, 51064, Fr.
SOURCE: Journal of Medicinal Chemistry (1996), 39(3), 695-704
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Modification of the potent thymidylate synthase (TS) inhibitor 1-[[N-[4-[N-[(3,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-N-prop-2-ynylamino]benzoyl]amino]methyl]-3-nitrobenzene (1) has led to the synthesis of quinazolinone antifolates bearing functionalized alkyl substituents at C2. A general synthetic route was developed which involved coupling the appropriate 1-[[N-[4-(alkylamino)benzoyl]amino]methyl]-3-nitrobenzene with a 6-(bromomethyl)-2-(acetoxymethyl)-3,4-dihydro-4-oxoquinazoline. Good TS (IC50 <1 μM) and growth inhibition (IC50 0.1-1 μM) were found with most of these new antifolates. TS inhibitors in this series do not apparently require the reduced folate carrier (RFC) for cell entry (they most likely penetrate the cell membrane by passive diffusion) and are not polyglutamated. N, O, S, Cl, and CN as well as large amino and mercapto substituents were tolerated by the enzyme. The simultaneous incorporation of 7-Me and 2'-F substituents gave a series of highly potent agents inhibiting cell growth at concns. <1 μM. The incorporation of suitable C2 substituents has overcome the decrease in aqueous solubility observed with lipophilic quinazoline antifolates.
IT 173952-11-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazoline antifolate thymidylate synthase inhibitors)
RN 173952-11-9 CAPLUS
CN Benzamide, 4-[[[1,4-dihydro-2-[(4-methyl-1-piperazinyl)methyl]-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

L4 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:346688 CAPLUS
DOCUMENT NUMBER: 122:160664
TITLE: Quinazoline derivatives as neoplasm inhibitors
INVENTOR(S): Barker, Andrew John; Boyle, Francis Thomas; Hennequin, Laurent Francois Andre
PATENT ASSIGNEE(S): Zeneca Ltd., UK; British Technology Group Ltd.
SOURCE: Brit. UK Pat. Appl., 71 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2271111	A1	19940406	GB 1993-20077	19930929
ZA 9306768	A	19940330	ZA 1993-6768	19930914
WO 9407869	A1	19940414	WO 1993-GB2015	19930928
W: AU, BG, BR, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, PT, RO, RU, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9348297	A1	19940426	AU 1993-48297	19930928
PRIORITY APPLN. INFO.:			GB 1992-20571 A	19920930
			WO 1993-GB2015 W	19930928

OTHER SOURCE(S): MARPAT 122:160664
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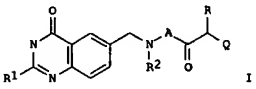

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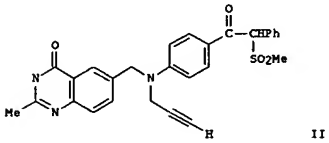
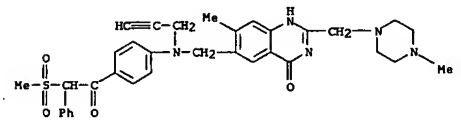


II

AB Quinazolines I (R1 = H, substituent; R2 = H, alkyl, etc.; A = phenylene, aromatic heterocyclene ring; R = Ph, heteroaryl; Q = nitro, cyano, carbamoyl, etc.) were disclosed. Compds. I are useful as antitumor agents. A specifically claimed example compound is 4-[[(2-methyl-4-oxo-3,4-dihydro-6-quinazolinyl)methyl](2-propenyl)amino]-α-

L4 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(methylsulfonyl)desoxybenzoin (II).
IT 161417-89-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as neoplasm inhibitor)
RN 161417-89-6 CAPLUS
CN 4(1H)-Quinazolinone, 7-methyl-2-[(4-methyl-1-piperazinyl)methyl]-6-[[[4-[(methylsulfonyl)phenylacetyl]phenyl]-2-propynylamino]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:323466 CAPLUS
DOCUMENT NUMBER: 120:323466
TITLE: Synthesis and biological activities of 6-bromo-2,3-disubstituted-4-(3H)-quinazolinones
AUTHOR(S): Abdel-Alim, Abdel-Alim M.; El-Shorbagi, Abdel-Nasser A.; El-Shareif, Hosny A. H.; El-Gendy, Mahmoud A.; Amin, Monir A.
CORPORATE SOURCE: Fac. Pharm., Assiut Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1994), 33B(3), 260-5
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:323466
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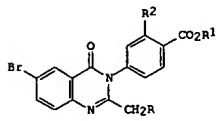
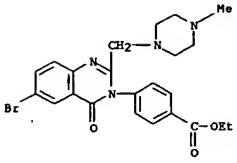


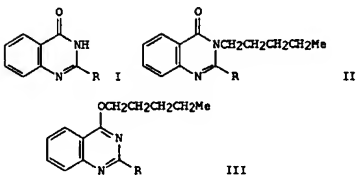
I

AB The title compds., 6-bromo-2, 3-disubstituted-4(3H)-quinazolinones (I) have been synthesized for evaluation as potential sedative-hypnotic, anti-convulsant and anti-inflammatory agents. Compound I (R = PhCh2S, R1 = Et, R2 = H) has been synthesized by condensing 6-bromo-2-chloromethyl-3-(p-ethoxycarbonylphenyl)-4(3H)-quinazolinone with benzyl mercaptan in the presence of potassium carbonate. Compds. I (R = CH2SCH2CO2H, CH2SCH2CH2CO2H, CH2SCHMeCO2H) (II) are obtained by the condensation of I (R = Cl) with the appropriate thioacid. Superior sedative-hypnotic and anti-convulsant effects are achieved by II (R1 = Me, Et; R2 = H) (III). On the other hand, II (R2 = OH) reveal better results as anti-inflammatory agents than that for III. Most of the tested compds. have been found to be, at least, two times as potent as aspirin in anti-inflammatory tests.
IT 155104-19-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 155104-19-1 CAPLUS
CN Benzoic acid, 4-[6-bromo-2-[(4-methyl-1-piperazinyl)methyl]-4-oxo-3(4H)-quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)

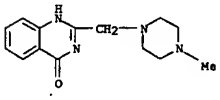
L4 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:217509 CAPLUS
DOCUMENT NUMBER: 120:217509
TITLE: Effects of a 2-substituent on the ratio of N- and O-alkylation of 4(3H)-quinazolinones
AUTHOR(S): Hori, Manabu; Ohtaka, Hiroshi
CORPORATE SOURCE: New Drug Lab., Kanebo Ltd., Osaka, 534, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1993), 41(6), 1114-17
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

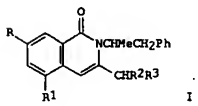


AB Alkylation of 4(3H)-quinazolinones [I; R = H, CHMe2, CMe3, CF3, (4-methylpiperazino)methyl, NMe2, NMePh, O(CH2)4Me] with 1-iodopentane in the presence of sodium hydride gave a mixture of 3-pentyl-4(3H)-quinazolinones (II) and 4-pentyloxyquinazolines (III). The ratio of O-alkyl/N-alkyl products varied according to the 2-substituents of the quinazoline ring. Multiple regression analyses revealed that the ratio was determined by a steric factor (width parameter of B) and an electronic factor (in terms of Hammett's σP) of the 2-substituent. It was also the case in the reported alkylation of 4(3H)-quinazolinones with propargyl bromide.
IT **19062-52-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(multiple regression anal. of substituent effect on ratio of N to O alkylation of)
RN 19062-52-3 CAPLUS
CN 4(1H)-Quinazolinone, 2-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

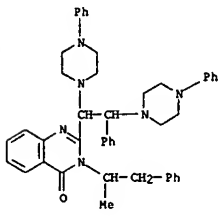


L4 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

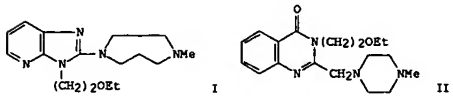
L4 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:679945 CAPLUS
DOCUMENT NUMBER: 115:279945
TITLE: New quinazolone congeners
AUTHOR(S): Saxena, Sushma; Bhalla, M.; Verma, M.; Saxena, A. K.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India
SOURCE: Journal of the Indian Chemical Society (1991), 68(3), 142-3
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



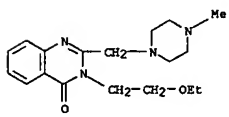
AB Quinazolinone derivs. I (R = R1 = H, Br, R2R3 = CHPh; R = Br, iodo, R1 = H, R2R3 = CHPh; R = R1 = H, Br, R2 = H, R3 = Br; R = Br, iodo, R1 = H, R2 = H, R3 = Br) were prepared by condensation of I (R2 = R3 = H) with PhCHO or bromination of I (R2 = R3 = H). These compds. were further brominated and aminated with arylamines.
IT **137610-44-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 137610-44-7 CAPLUS
CN 4(3H)-Quinazolinone, 3-(1-methyl-2-phenylethyl)-2-[2-phenyl-1,2-bis(4-phenyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:406267 CAPLUS
DOCUMENT NUMBER: 113:6267
TITLE: Bioisosteric transformation of H1-antihistaminic benzimidazole derivatives
AUTHOR(S): Iemura, Ryuichi; Hori, Manabu; Saito, Tadayuki; Ohtaka, Hiroshi
CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1989), 37(10), 2723-6
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:6267
GI

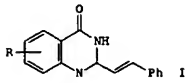


AB For obtaining new H1-antihistaminic agents, transformation of previously reported antihistaminic benzimidazoles were performed on the basis of the concept of bioisosterism. Among the compds. prepared, imidazo[4,5-b]pyridine I and -quinazolinone II exhibited significant H1-antihistaminic activity.
IT **127533-14-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihistaminic activity of)
RN 127533-14-6 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-ethoxyethyl)-2-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:235257 CAPLUS
DOCUMENT NUMBER: 112:235257
TITLE: Synthesis and biological evaluation of 2-styrylquinazolin-4(3H)-ones, a new class of antimitotic anticancer agents which inhibit tubulin polymerization
AUTHOR(S): Jiang, Jack B.; Hesson, D. P.; Dusak, B. A.; Dexter, D. L.; Kang, G. J.; Hamel, E.
CORPORATE SOURCE: E. I. Du Pont de Nemours and Co., Wilmington, DE, 19880, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(6), 1721-8
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:235257
GI

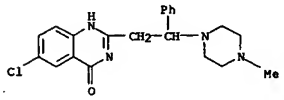


I

AB Title compds., e.g., I (R = 5-, 6-, 7-, 8-Cl, 6-Br, 6-F, 6-NH2, 6-OMe, 5-, 6-Me, 6-OH, 6-OEt) were prepared Extensive structure-activity relationship studies suggest that the entire quinazolinone structure was required, but activity was further enhanced by halide or small hydrophobic substituents at position 6. These analogs did not substantially interfere with the binding of radiolabeled colchicine, vinblastine, or GTP to tubulin and weakly stimulated GTP hydrolysis uncoupled from polymerization Several analogs have shown in vivo tumor growth inhibitory activity in the L1210 leukemia model, with the lead compound I (R = 6-OMe) exhibiting good antitumor activity against murine solid tumors as well as human tumor xenografts.

IT 127033-50-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antitumor activity of)

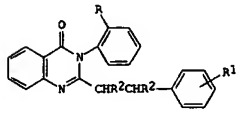
RN 127033-50-5 CAPLUS
CN 4(1H)-Quinazolinone, 6-chloro-2-[2-(4-methyl-1-piperazinyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1985:45864 CAPLUS
DOCUMENT NUMBER: 102:45864
TITLE: Synthesis and antiinflammatory activity of 2-substituted-phenethyl-3-substituted-phenyl-4(3H)-quinazolinones
AUTHOR(S): Singh, Inder Pal; Saxena, A. K.; Sinha, J. N.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(6), 592-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:45864
GI



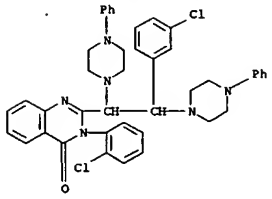
I

AB Quinazolinones I (R = Cl, Me; R1 = 2-OMe, 3-Cl, 2-OH; R2 = N-Phenylpiperazino, homopiperidino, 2-methylpiperidino, morpholino, 4-ClC6H4CH2CHZNH, N(CH2CH2OH)2, piperidino, N-(2-chlorophenyl)piperazino] have been prepared by the bromination of 2-styrylquinazolinones to yield α,β-dibromophenethylquinazolinones which undergo condensation with amines to gives I. 2-(α-Bromo-o,β-dimethoxyphenethyl)-3-(o-chlorophenyl)-4(3H)-quinazolinone has been obtained by the action of MeOH on the dibromo analog. All I show significant antiinflammatory activity. I (R = Cl, R1 = 3-Cl, R2 = N-phenylpiperazino) is the most potent.

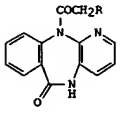
IT 93415-26-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory activity of)

RN 93415-26-0 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chlorophenyl)-2-[2-(3-chlorophenyl)-1,2-bis(4-phenyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

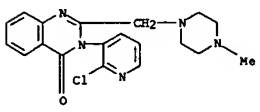


L4 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:34516 CAPLUS
DOCUMENT NUMBER: 100:34516
TITLE: New synthesis of 11-acyl-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones and related studies
AUTHOR(S): Kovac, T.; Oklobdzija, M.; Comisso, G.; Decorte, E.; Fajdiga, T.; Moimas, F.; Angeli, C.; Zonno, F.; Toso, R.; Sunjic, V.
CORPORATE SOURCE: Chem. Res. Co., San Giovanni, Italy
SOURCE: Journal of Heterocyclic Chemistry (1983), 20(5), 1339-49
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:34516
GI

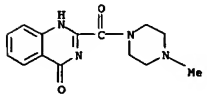


I

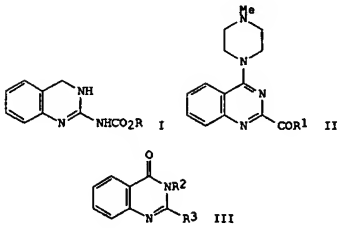
AB 11-Acyl-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones I (R = 4-methylpiperazino, imidazolo, 2-methylimidazolo) were prepared via N-α-chloroacetylation and aminolysis. Other attempts at cyclization to form I are also reported.
IT **88369-55-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88369-55-5 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chloro-3-pyridinyl)-2-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



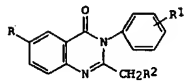
L4 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:72041 CAPLUS
DOCUMENT NUMBER: 98:72041
TITLE: Synthesis of 2-substituted quinazolines and quinazolones as potential anthelmintics
AUTHOR(S): Rastogi, Rashmi; Sharma, Satyavan
CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226 001, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1982), 21B(8), 744-6
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:72041
GI



I II III

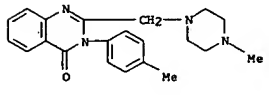
AB Quinazolines I (R = Me, Et) and II (R1 = EtO, 4-methylpiperazino) and quinazolones III (R2 = H, Me; R3 = H, Me2CHCH2O2CO2C, 4-methylpiperazinocarbonyl) were prepared from 2-aminobenzylamine and 2-carbethoxyquinazolone. The compds. have been tested for their antihookworm activity against Ancylostoma ceylanicum in hamsters but none shows any significant activity.
IT **29113-35-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 29113-35-7 CAPLUS
CN Piperazine, 1-[(1,4-dihydro-4-oxo-2-quinazolinyl)carbonyl]-4-methyl- (8CI, 9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:115510 CAPLUS
DOCUMENT NUMBER: 96:115510
TITLE: A new potent antiinflammatory quinazolone
AUTHOR(S): Verma, M.; Sinha, J. N.; Gujrati, V. R.; Bhalla, T. N.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226003, India
SOURCE: Pharmacological Research Communications (1981), 13(10), 967-79
CODEN: PLRCAT; ISSN: 0031-6989
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Nineteen 3-aryl quinazolones I (R = H or I, R1 = H or Me, R2 = substituted piperazine or piperidine) were synthesized and screened against carrageenin induced edema in albino rats. Several compds. had potent antiinflammatory activity; 2-homopiperidinomethyl-3-(o-tolyl)-4-(3H)-6-iodoquinazolone [80930-91-2] was the most potent. This compound was evaluated further and compared with phenylbutazone for its relative antiinflammatory potency, ulcerogenic liability, and acute toxicity. It was almost equipotent to phenylbutazone with respect to antiinflammatory activity and had min. ulcerogenic liability and cardiovascular and central nervous system effects. Structure-activity relations are discussed.
IT **80930-80-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inflammation inhibition by, structure in relation to)
RN 80930-80-9 CAPLUS
CN 4(3H)-Quinazolinone, 3-(4-methylphenyl)-2-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

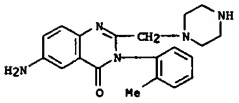


L4 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:426374 CAPLUS
DOCUMENT NUMBER: 93:26374
TITLE: Studies on biologically active halogenated compounds. II. Chemical modifications of 6-amino-2-fluoromethyl-3-[o-tolyl]-4[3H]-quinazolinone and the CNS depressant activities of related compounds
AUTHOR(S): Tani, Junichi; Yamada, Yoshihisa; Ochiai, Takashi; Ishida, Ryuichi; Inoue, Ichizo; Oine, Toyonari
CORPORATE SOURCE: Res. Lab., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1979), 27(11), 2675-87
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:26374

AB A number of derivs. of 6-amino-2-fluoromethyl-3-(o-tolyl)-4(3H)-quinazolinone (6-aminomethaqualone), a potent muscle relaxant, were prepared and screened in terms of the loss of righting reflex test and the rotating rod test in mice. Several derivs. with addnl. F substitution or with repositioning of the F atom exhibited high activities. Other structural modification included acylation, carbamoylation, and alkoxycarbonylation of the 6-amino group, hydroxylation at the 3-tolyl group, and replacement of the F atom at the 2-fluoromethyl group by O, N and S nucleophiles; these modification all resulted in loss of activity.

IT **73832-33-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidepressant activity of)

RN 73832-33-4 CAPLUS
CN 4(3H)-Quinazolinone, 6-amino-3-(2-methylphenyl)-2-(1-piperazinylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:601459 CAPLUS
DOCUMENT NUMBER: 87:201459
TITLE: New 3-aminoquinazolinones
AUTHOR(S): Sauter, Fritz; Stanetty, Peter; Jordis, Ulrich
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Wien, Vienna, Austria
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1977), 310(8), 680-2
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 87:201459
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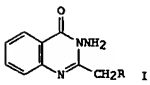


I

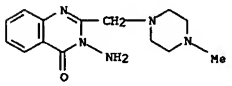
AB Aminoquinazolinones I (R = NEt2, piperidino, 2,6-dimethylpiperidino, morpholino, 4-methyl-1-piperazinyl) were obtained in 47-98% yield by treating 2-MeO2CC6H4NHCOCH2R (II: R as above) with N2H4. II (R = amino) were obtained by chloroacetylating Me anthranilate, iodinating II (R = Cl), and aminating II (R = I).

IT **64689-35-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 64689-35-6 CAPLUS
CN 4(3H)-Quinazolinone, 3-amino-2-[(4-methyl-1-piperazinyl)methyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 64689-34-5
CMF C14 H19 N5 O

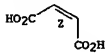


CM 2

CRN 110-16-7
CMF C4 H4 O4

L4 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Double bond geometry as shown.



L4 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:468405 CAPLUS
DOCUMENT NUMBER: 87:68405
TITLE: Quinazolinoneacetamides
INVENTOR(S): Saito, Seiichi; Tsukamoto, Goro
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51133287	A2	19761118	JP 1975-58404	19750515
PRIORITY APPLN. INFO.:			JP 1975-58404 A	19750515

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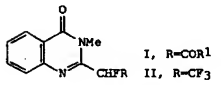
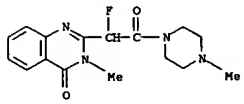


I, R=COR1
II, R=CF3

AB Quinazolinoneacetamides I (R1 = 1-pyrrolidinyl(Q), morpholino, 4-methyl-1-piperazinyl) were prepared by treating II first with amines HR1 and then with H2O. I have central depressant and antiinflammatory activities (no data). Thus, II was heated with pyrrolidine in glycerol at 80° for 15 h to give 86% I (R1 = Q).

IT **63532-75-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 63532-75-2 CAPLUS
CN Piperazine, 1-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)fluoroacetyl]-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:406022 CAPLUS
DOCUMENT NUMBER: 87:6022
TITLE: Substituted phenyl piperazine N-oxides
INVENTOR(S): Pruesse, Wolfgang; Amschler, Hermann; Schoetensack, Wolfgang
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2638184	A1	19770310	DE 1976-2638184	19760825
PRIORITY APPLN. INFO.:			LU 1975-73295 A	19750902

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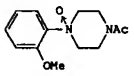


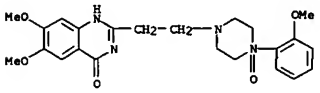
I

AB Piperazine N-oxides, e.g. I, useful as antihypertensives (no data), are prepared by standard procedures. Thus, treatment of 1-acetyl-4-(2-methoxyphenyl)piperazine with 30% H2O2 in AcOH 2 h at 60° gives 73% I.

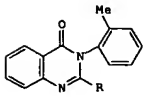
IT **62845-36-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 62845-36-7 CAPLUS

CN 4(1H)-Quinazolinone, 6,7-dimethoxy-2-[2-[4-(2-methoxyphenyl)-4-oxido-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:83505 CAPLUS
DOCUMENT NUMBER: 86:83505
TITLE: Synthesis and central nervous system activity of quinazolones related to 2-methyl-3-(o-tolyl)-4(3H)-quinazolone (methaqualone)
AUTHOR(S): Ager, I. R.; Harrison, D. R.; Kennewell, P. D.; Taylor, J. B.
CORPORATE SOURCE: Roussel Lab., Covingham/Swindon/Wiltshire, UK
SOURCE: Journal of Medicinal Chemistry (1977), 20(3), 379-86
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 86:83505
GI



I, R=CH_2F, HCl
II, R=$CH_2SC(=NH)NH_2$, HBr

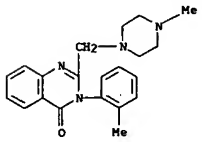
AB A series of 71 title compds. was prepared by condensation of acetylanthranilates with the appropriate arylamines, or by bromination of methaqualone [72-44-6] in the 2-Me group followed by displacement of the Br atom with Cl or F, or N, O, or S nucleophiles. Only the 2-fluoromethyl derivative (I) [61555-12-2] or certain isothiouronium salts, e.g., 2-[[3'-(o-tolyl)-4'(3'H)-oxoquinazolin-2'-yl]methylthiouronium bromide (II) [61554-89-0], which could be hydrolyzed in vivo to the 2-mercaptomethyl derivative, [61555-13-3], had central nervous system depressant activity of the same magnitude as methaqualone. Activity of the compds. in mice was determined by 5 tests, i.e., the loss of righting reflex, rotating drum test, antagonism of convulsions from maximum electroshock and pentylenetetrazole, and antagonism of writhing from p-benzoquinone. Structure-activity relations are discussed.

IT **61554-57-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and central nervous system depressant activity of)

RN 61554-57-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-(2-methylphenyl)-2-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



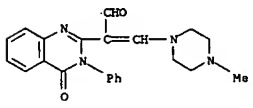
L4 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:515526 CAPLUS
DOCUMENT NUMBER: 79:115526
TITLE: Vilsmeier-Haack reaction. V. Reaction of 2-methyl-4-quinazolone derivatives and a new synthesis of pyrazolo[5,1-b]quinazolones
AUTHOR(S): Pandit, R. S.; Seshadri, S.
CORPORATE SOURCE: Dep. Chem. Technol., Univ. Bombay, Bombay, India
SOURCE: Indian Journal of Chemistry (1973), 11(6), 532-7
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.

AB 2-Methyl-3-phenyl-4-quinazolone underwent diformylation by the Vilsmeier reagent to give the dialdehyde I. I with HONH2, H2NNH2, PhNHNH2 gave the related 3-phenyl-4-quinazolone derivs. with different heterocyclic systems in the 2-position. On treatment with polyphosphoric acid, I cyclized to give 12-oxoquino[2,1-b]quinazoline-6-carboxaldehyde (II). Vilsmeier-Haack reaction of 2-methyl-3-amino-4-quinazolone gave 3-formylpyrazolo[5,1-b]quinazolone (III). Various derivs. of III were prepared to investigate the fluorescence properties. Vilsmeier-Haack reaction on 2-methyl-3-acylamido-4-quinazolone also gave III with the loss of acyl residues. 2-Methyl-3-anilino-4-quinazolone reacts with the Vilsmeier reagent to give 1-phenylpyrazolo[5,1-b]quinazolone.

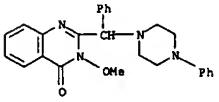
IT **49552-39-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 49552-39-8 CAPLUS

CN 2-Quinazolineacetaldehyde, 3,4-dihydro-α-[(4-methyl-1-piperazinyl)methylene]-4-oxo-3-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:97590 CAPLUS
DOCUMENT NUMBER: 78:97590
TITLE: Cyclization reactions of O-alkyl o-(acylamino)benzohydroxamates
AUTHOR(S): Kohl, Hans; Wolf, Erhard
CORPORATE SOURCE: Farbwerke Hoechst A.-G., Frankfurt/M., Fed. Rep. Ger.
SOURCE: Justus Liebigs Annalen der Chemie (1972), 766, 106-15
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Cyclization of O-alkyl o-(acylamino)benzohydroxamates (I) gave 3-alkoxyquinazolinones (II; R = e.g. CH2Cl, CHClPh, or CHBrMe; R1 = Me, CH2Ph, or Pr; X = e.g. H, 6-NO2, 6-Br, or 7-Cl). Nucleophilic substitution of II with amines, thiourea, dithiocarbamates, or sulfinates gave III (R = H or Ph; R1 = piperidino, 4-phenyl-1-piperazinyl, S2CNEt2, SCN, SO2C6H4Me-p; X = H, Cl, or NO2).
IT **40928-47-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40928-47-0 CAPLUS
CN 4(3H)-Quinazolinone, 3-methoxy-2-[phenyl(4-phenyl-1-piperazinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



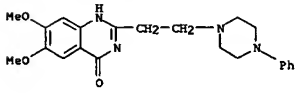
● HCl

L4 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:85842 CAPLUS
DOCUMENT NUMBER: 76:85842
TITLE: Pharmacologically active piperazinylalkyl 4-quinazolinone derivatives
INVENTOR(S): Amschler, Hermann; Klemm, Kurt; Schoetensack, Wolfgang
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: Ger. Offen., 54 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

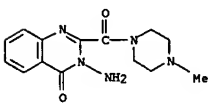
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2027645	A	19711209	DE 1970-2027645	19700605
US 3984555	A	19761005	US 1971-148100	19710528
AT 317899	B	19740925	AT 1973-2442	19710601
AT 318615	B	19741111	AT 1971-4705	19710601
AT 318628	B	19741111	AT 1973-2441	19710601
CH 557829	A	19750115	CH 1971-8020	19710602
CH 558374	A	19750131	CH 1974-4500	19710602
CH 569732	A	19751128	CH 1974-4501	19710602
GB 1331522	A	19730926	GB 1971-18803	19710603
CA 951319	A1	19740716	CA 1971-114709	19710603
BE 768137	A1	19711206	BE 1971-104283	19710604
NL 7107695	A	19711207	NL 1971-7695	19710604
FR 2100726	A5	19720324	FR 1971-20368	19710604
FR 2100726	B1	19751010		

PRIORITY APPLN. INFO.: DE 1970-2027645 A 19700605
GI For diagram(s), see printed CA Issue.
AB The 33 piperazinoalkylquinazol-inones I [R = R1 = H, OMe, R = H, R1 = Me; R2 = H, Me, PhCH2CH2, Me2CHCH2CH2, cyclohexyl; A = CH2, (CH2)2, (CH2)3, CHEt, CH:CHCH2; R3 = H, 2-, 3-, or 4-Me, OMe, Cl, F, 3-CF3, 2-OEt] have hypotensive, antihistaminic, and analgesic properties, but only slight sedative and no anticonvulsive effect. They are prepared by treating a suitably substituted 2-carbamoylanilide with a 1-arylpiperazine and cyclizing. Thus, 14.2 g 2,4,5-H2NOC(MeO)2C6H2NHCOCH2CH2Br in MeCN was treated with 7 g 1-phenylpiperazine and 7.8 g dicyclohexylamine. The product was treated with 2.24 g KOH in MeOCH2CH2OH to give 78% I [R = R1 = OMe, R2 = R3 = H, A = (CH2)2]. The preparation of 17 intermediates was also given.
IT **35265-45-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)
RN 35265-45-3 CAPLUS
CN 4(1H)-Quinazolinone, 6,7-dimethoxy-2-[2-(4-phenyl-1-piperazinyl)ethyl]-(9CI) (CA INDEX NAME)

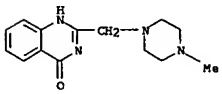
L4 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



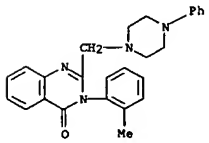
L4 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1971:551754 CAPLUS
DOCUMENT NUMBER: 75:151754
TITLE: Synthesis of 3-amino-2-ethoxycarbonyl-4-quinazolone and related compounds. I. Use of diethyl oxalate in quinazolone synthesis
AUTHOR(S): George, T.; Mehta, D. V.; Tahilramani, R.
CORPORATE SOURCE: CIBA Res. Cent., Bombaay, India
SOURCE: Indian Journal of Chemistry (1971), 9(8), 755-8
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 3-Amino-2-ethoxycarbonyl-4-quinazolone (I) are prepared by treating anthranilic acid hydrazide with di-Et oxalate at 180°. Reaction of I with Ph isocyanate in toluene gives 2-(ethoxycarbonyl)-3-(N-phenyl)ureido-4-quinazolone (II) which on cyclization by fusion, under N, at 245° gives 2-phenyl-1,2,3,4-tetrahydro-1,3,6-trioxo-(6H)-1,2,4-triazino[6,1-b]quinazoline (III). Condensation of I with appropriate amines furnishes IV (R=NHNH2, NH2, NHCH2CH2NMe2, etc.). With aromatic aldehydes, I affords 3-arylidene-2-ethoxycarbonyl-4-quinazolone derivs. (V), e.g., V (R=PhCH:N). Other condensation reactions of I are described.
IT **34127-34-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34127-34-9 CAPLUS
CN Piperazine, 1-[(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)carbonyl]-4-methyl- (8CI) (CA INDEX NAME)



L4 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1971:463724 CAPLUS
DOCUMENT NUMBER: 75:63724
TITLE: Novel class of hypoglycemic agents: syntheses and SAR (sodium absorption ratio) in 2-substituted 4(3H)-quinazolones, 2-substituted 4-hydroxypolymethylene [5,6] pyrimidines, and 3-substituted 4-oxopyrido [1,2-a] pyrimidines
AUTHOR(S): Gupta, Chhitar Mal; Bhaduri, Amiya P.; Khanna, Nandoo M.; Mukherjee, Surath K.
CORPORATE SOURCE: Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, India
SOURCE: Indian Journal of Chemistry (1971), 9(3), 201-6
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The syntheses and SAR in 2-substituted 4(3H)-quinazolones, 2-substituted 4-hydroxy-5,6-polymethylenepyrimidines, 2-substituted 4-hydroxypyrimidines, 3-substituted 4-oxopyrido[1,2-a]pyrimidines (I) and 3-substituted 4-oxobenzo[6,7]pyrido[1,2-a]pyrimidines (II) are described. Hypoglycemic activity of these compds. is associated with the cyclic amidine moiety stimulated in their mol. structure. The principal and auxopharmacophores responsible for the blood sugar lowering effect are also described.
IT 19062-52-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 19062-52-3 CAPLUS
CN 4(1H)-Quinazolinone, 2-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



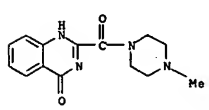
L4 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1971:99978 CAPLUS
DOCUMENT NUMBER: 74:99978
TITLE: Synthesis in the 2-aminomethyl-3-(2'-tolyl)-4-quinazolone
AUTHOR(S): Kozhevnikov, Yu. V.; Petyunin, P. A.; Kharchenko, N. E.; Grishina, V. M.
CORPORATE SOURCE: Perm. Farm. Inst., Perm, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1970), 4(11), 22-5
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The title compds. are synthesized as potential hypnotics and anticonvulsives. I [(NR2 =)morpholino] is prepared from 2-chloromethyl-3-(2-tolyl)-4-quinazolinone and morpholine in MePh by boiling 2 hr. An addnl. 11 analogs are prepared
IT 31167-09-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31167-09-6 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(4-phenyl-1-piperazinyl)methyl]-3-o-tolyl- (8CI) (CA INDEX NAME)



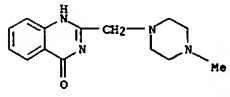
L4 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1970:520669 CAPLUS
DOCUMENT NUMBER: 73:120669
TITLE: 4-Quinazolinone-2-carboxylic acid, its salts, esters, and other derivatives
PATENT ASSIGNEE(S): Ferlux
SOURCE: Fr., 7 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1584579	A	19691226	FR 1968-158418	19680709
DE 1932455	A	19700910	DE 1969-1932455	19690626
CH 518289	A	19720131	CH 1969-518289	19690627
BE 735805	A	19700108	BE 1969-735805	19690708
NL 6910451	A	19700113	NL 1969-10451	19690708
ES 369518	A1	19710716	ES 1969-369518	19690708
PRIORITY APPLN. INFO.:			FR 1968-158417 A	19680709
			FR 1968-158418 A	19680709

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) were prepared via the intermediate esters obtained by condensation of an anthranilamide with an oxalate. Thus, o-H2NC6H4CONH2 and (CO2Et)2 was stirred 6 hr at 170-80° and treated with hot absolute alc. at 75-80° to give 81% I (R = Et, R' = H) (II). Treatment of II with 5% NaOH and acidification with HCl gave I (R = R' = H) (III). III and N-methylpiperazine was refluxed 2 hr in absolute alc. to give 65% I (R = N-methylpiperazino, R' = H). Similarly obtained were I [R' = H, R = NEt2, N(Ph)Et, morpholino, cyclo-C6H11(CHMe2)N, NCH2CH2CH2NH2(H11C6-cyclo), HNCHMe2]. Anhydrous MeOH containing Na was stirred 1 hr with III to give 98% I
(R = Na, R' = H).
IT 29113-35-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 29113-35-7 CAPLUS
CN Piperazine, 1-[(1,4-dihydro-4-oxo-2-quinazolinyl)carbonyl]-4-methyl- (8CI, 9CI) (CA INDEX NAME)



L4 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1968:427405 CAPLUS
DOCUMENT NUMBER: 69:27405
TITLE: Drugs acting on the central nervous system. Syntheses of substituted quinazolinones and quinazolines and triazepino- and triazocinoquinazolinones
AUTHOR(S): Gupta, C. M.; Bhaduri, A. P.; Khanna, N. M.
CORPORATE SOURCE: Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, India
SOURCE: Journal of Medicinal Chemistry (1968), 11(2), 392-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 2,3-Disubstituted 4-quinazolinones, 2,4-disubstituted quinazolines, and 5H-2,3-disubstituted triazepino[1,4,5] [2,1-b]-quinazolin-11-ones (I) (R = 2-furyl, Ph, Me, and p-MeOC6H4) are prepared and tested for toxicity and anticonvulsant activity in mice. Of the 48 compds. prepared and tested, only 2-ethylthio-4-quinazolone and 2,4-bis(dibenzylamino)quinazoline gave protection against maximum electroshock, 3 other compds. showed slight activity, and the remainder were inactive.
IT 19062-52-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 19062-52-3 CAPLUS
CN 4(1H)-Quinazolinone, 2-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1965:91000 CAPLUS
DOCUMENT NUMBER: 62:91000
ORIGINAL REFERENCE NO.: 62:16269a-g
TITLE: 4(3H)-Quinazolinones
PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.
SOURCE: 18 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6405448		19641119	NL	
PRIORITY APPLN. INFO.:			DE	19630518

GI For diagram(s), see printed CA Issue.
AB I, analgesics and sedatives, are readily prepared by treatment of an o-chloroalkylamidobenzamide with a secondary amine at high temps. and by the pyrrolic or alkaline condensation of an o-aminoalkylamidobenzamide. Accordingly, I [n = 1 R1 = Me, (R2R3 =) (CH2)2NMe(CH2)2, R4 = 6-Cl] (II), m. 158.5-9.5° (Me2CO), was obtained by heating at 225-30° for 30 min. N-methyl-5-chloro-2-(N-methylpiperazinoacetamido)benzamide, prepared by the treatment of N-methyl-5-chloro-2-chloroacetamidobenzamide with an excess of N-methylpiperazine. II.2HCl, decompose 260° , was prepared by the addition of alc. HCl to II in MeOH. I(n = 1, R1 = R2 = R3 = Me, R4 = 6-Cl), m. 91.5-5.5° (HCl salt decompose 257°), was obtained by refluxing 7 g. N-methyl-5-chloro-2-dimethylaminoacetamidobenzamide in 52 mL. EtOH after the addition of 26 mL. 2N aqueous NaOH for 20 min. Similarly, the tabulated I were also prepared
IT 2854-63-9, 4(3H)-Quinazolinone, 6-ethoxy-3-methyl-2-[(4-methyl-1-piperazinyl)methyl]-
(preparation of)
RN 2854-63-9 CAPLUS
CN 4(3H)-Quinazolinone, 6-ethoxy-3-methyl-2-[(4-methyl-1-piperazinyl)methyl]-(7CI, 9CI) (CA INDEX NAME)